

Figure 1

Fig. 1

## Scheme 1. Modification of SBL mutants with Chiral Auxiliaries.

$$R = S$$

$$(R)-a R^1 = Me$$

$$(R)-b R^1 = H$$

$$(R)-b R^1 = H$$

$$(R)-b R^1 = H$$

$$(R)-a R^1 = Me$$

$$(R)-a R^1 = Me$$

$$(R)-a R^1 = H$$

$$R = S$$
 $N = S$ 
 $N =$ 

The corresponding (S) MTS ligands follow the same code scheme (i.e. (S)-a, (S)-b, (S)-d, (S)-e, (S)-f, (S)-g, (S)-h, (S)-i).

Fig. 2

## Scheme 2. Synthesis of Mandelate-based Ligands

OR OR OR (iv) OR 
$$R^1$$
 (vii) SSO<sub>2</sub>CH<sub>3</sub>

(R)-1a R = Me (R)-1a R = Mom (R)-1a R

Reagents: (i)  $Me_2SO_4$ , NaOH,  $H_2O$ , 37%; (ii) MeOH,  $H^+$ ; (ii) MOM-Cl,  $CH_2Cl_2$ ,  $Et_3N$  (90% 2 steps); (iv) For (R)-3:  $BH_3$ , THF, 82%; For (R)-5:  $LiBH_4$ , THF, 97%; (v)  $MeSO_2Cl$ ,  $CH_2Cl_2$ ,  $Et_3N$ ; For (R)-8: 100%; (vi) LiBr, acetone; For (R)-10: 84%; For (R)-11: 78% 2 steps; (vii)  $NaSSO_2CH_3$ , DMF; For (R)-12: 61%; (viii) TFA,  $H_2O$ , 82%.

## Scheme 3. Synthesis of Oxazolidinone-based Ligands

Reagents: (i) KOH, DMSO, Br  $(CH_2)_nBr$ ; (ii) NaSSo<sub>2</sub>CH<sub>3</sub>, DMF.

Fig. 4

## Scheme 4. Synthesis of Indanol-based Ligands

H<sub>2</sub>N OH
(ii)
$$(R)$$
-23
$$(R)$$
-24
$$(R)$$
-25 R = Br  $(R)$ -11 R = SSO<sub>2</sub>CH<sub>3</sub>

Reagents: (i) triphosgene, CH<sub>2</sub>Cl<sub>2</sub>, Et<sub>3</sub>N, 100%; (ii) KOH, DMSO, Br(CH<sub>2</sub>)<sub>3</sub>Br; (iii) NaSSO<sub>2</sub>CH<sub>3</sub>, DMF.

Fig. 5

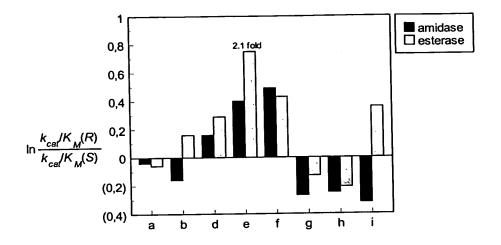
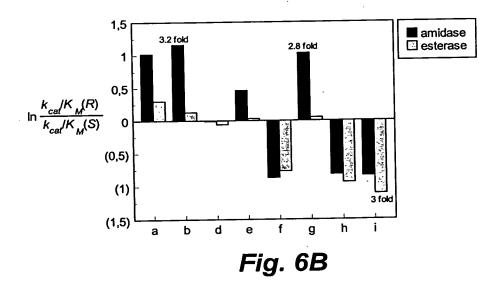


Fig. 6A



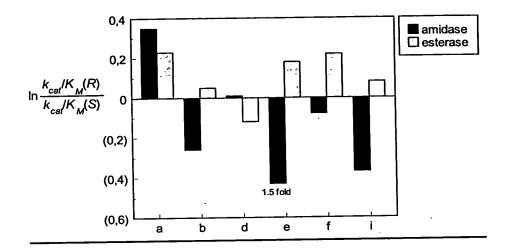


Fig. 6C

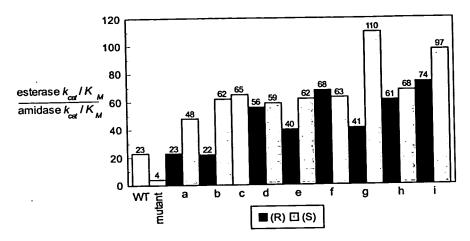


Fig. 7A

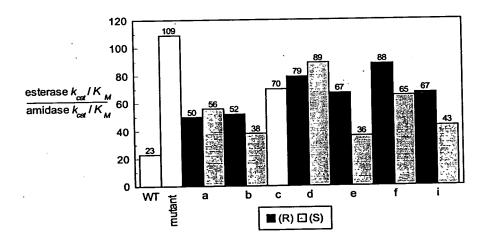


Fig. 7B

Fig. 8